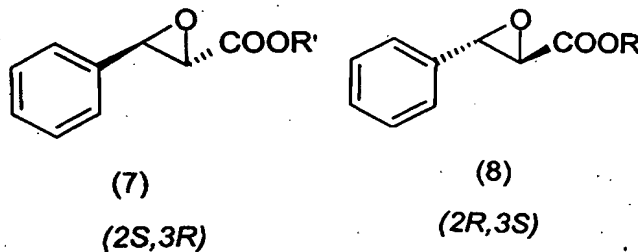


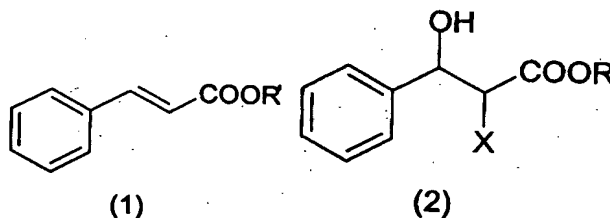
CLAIMS:

- 1 A stereoselective chemoenzymatic process for the synthesis of optically enriched trans alkyl phenylglycidate in its enantiomeric forms alkyl(2*S*,3*R*)-phenylglycidate and alkyl(2*R*,3*S*)-phenylglycidate of formulae 7 and 8

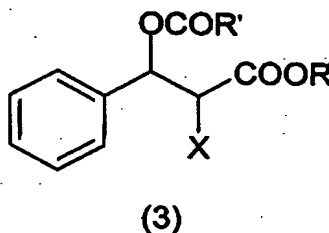


respectively, wherein said process comprises steps of,

- a. halogenating alkyl cinnamate of formula 1 by action of a halogenating agent to obtain halohydrins of formula 2, where x represents bromine or iodine, and R' represents C-1 to C-5 alkyl group.

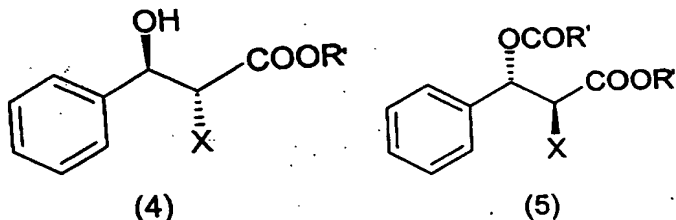


- b. acylating the halohydrins of formula 2 using an acyl anhydride in presence of a base to trans alkyl 3-acyloxy-2-halo-3-phenylpropanoates of formula 3 ;



- c. incubating the trans alkyl 3-acyloxy-2-halo-3-phenylpropanoates of formula 3 with dry powder of the lipase in an aqueous buffer phase optionally in presence of an organic medium at a temperature range of 10-40°C for the time duration in the range of 30-55 hr. to facilitate the

reaction to get hydrolysed alkyl(2*R*,3*R*)-2-halo-3-hydroxy-3-phenylpropanoates of formula 4 and unhydrolysed alkyl(2*S*,3*S*)-3-acyloxy-2-halo-3-phenylpropanoates of formula 5;

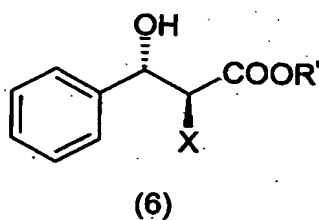


5

- c. separating the hydrolysed alkyl(2*R*,3*R*)-2-halo-3-hydroxy-3-phenylpropanoates of formula 4 and unhydrolysed alkyl(2*S*,3*S*)-3-acyloxy-2-halo-3-phenylpropanoates of formula 5 by conventional method of chromatography.
- d. incubating the optically enriched unhydrolysed phenyl propanoates of formula 5 with crude dry powder of lipase from *Aspergillus niger* in an aqueous buffer phase in presence of an organic solvent to further improve the enantiopurity;
- e. reacting the optically enriched products of formula 5 with an acid to furnish optically enriched alkyl (2*S*,3*S*) 2-halo-3-hydroxy-3-phenylpropanoate of formula 6, and

10

15



20

- f. treating the compounds of formulae 4 and 6 with an alkali in an organic or aqueous phase which leads the formation of epoxide ring by cyclisation to furnish optically enriched alkyl(2*S*,3*R*)-phenylglycidate and alkyl(2*R*,3*S*)-phenylglycidate of formulae 7 and 8 respectively.
2. A process as claimed in claim 1, wherein the halogenating agent used for the preparation of trans halohydrin of formula 2 are selected from a group comprising N-halosuccinimide such as N-bromosuccinimide, N-

25

iodosuccinimide or sodium bromate, periodic acid, 1,3-dibromo-5,5-dimethyl hydantoin, iodine and bromine.

3. A process as claimed in claims 2, wherein the halohydroxylation process is effected in aqueous or in an organic phase or aqueous organic phase where
5 organic phase is selected from water miscible solvents such as acetone, tetrahydrofuran, dioxane, dimethyl formamide, methanol and the like .
4. A process as claimed in claim 3, wherein the halohydroxylation process is effected at a temperature between 0-60°C.
5. A process as claimed in claim 1 wherein the acylating agent is selected from
10 acyl anhydrides, comprising acetic anhydride; propionic anhydride, butyric anhydride or corresponding acyl chlorides.
6. A process as claimed in claim 1 wherein the base is selected from the group comprising of pyridine, and N,N-dimethyl aminopyridine (DMAP).
7. A process as claimed in claim 1 wherein enzyme lipase is from crude dry
15 powder of *Aspergillus niger*.
8. A process as claimed in claim 1 wherein the crude dry powder of lipase from *Aspergillus niger* is used to effect the kinetic resolution .
9. A process as claimed in claim 1, wherein the aqueous phosphate buffer has the pH in the range of 5 to 7.5.
- 20 10. A process as claimed in claims 1, wherein stereospecific hydrolysis is most suitably carried out in presence of an organic cosolvent such as hexane, toluene, dichloromethane, acetone, acetonitrile, dimethylformamide, dimethyl sulphoxide, methanol and ethanol at 10-90% concentration.
11. A process as claimed in claims 1, wherein the stereospecific hydrolysis is
25 effected suitably at a temperature of about 30°C.
12. A process as claimed in claims 1, wherein the incubation period is about 48 hr.
13. A process as claimed in claims 1 wherein the cyclisation to optically enriched glycidate of formula 7 is effected most suitably in presence of an organic or inorganic base such as sodium hydroxide, sodium carbonate.
- 30 14. A process as claimed in claim 1 wherein organic bases are selected from triethylamine, piperidine, 1,4-diazabicyclo[2,2,2]octane (DABCO), 1,8-diazabicyclo[5,4,0]undec-7-ene (DBU).

15. A process as claimed in claims 1, wherein the cyclisation to optically enriched glycidate of formula 8 is effected most suitably in presence of an organic or inorganic acid such as hydrochloric acid , sulfuric acid or trifluoro acetic acid, boron trifluoride (BF₃) and the like.
- 5 16. A process as claimed in claims 1, wherein the products of the formula 7 has enantiomeric excess in the range of 86-95%.
17. A process as claimed in claims 1, wherein the products of the formula 8 has enantiomeric excess in the range of 60-99.5%.